

09/04/2007,10519807c.trn

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PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'HCAPLUS' AT 15:59:34 ON 09 APR 2007
FILE 'HCAPLUS' ENTERED AT 15:59:34 ON 09 APR 2007
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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	97.46	269.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.04	-14.04

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	97.46	269.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.04	-14.04

FILE 'REGISTRY' ENTERED AT 15:59:46 ON 09 APR 2007
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 8 APR 2007 HIGHEST RN 929518-97-8
DICTIONARY FILE UPDATES: 8 APR 2007 HIGHEST RN 929518-97-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

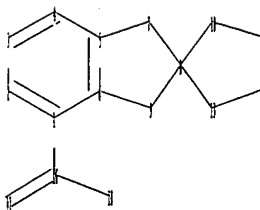
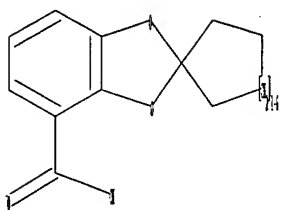
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10519807react.str

09/04/2007,10519807c.trn



chain nodes :

16 17 18

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

1-16 16-17 16-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 8-13 10-11 11-12 12-13

exact/norm bonds :

5-7 6-9 7-8 8-9 8-10 8-13 10-11 11-12 12-13

exact bonds :

1-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

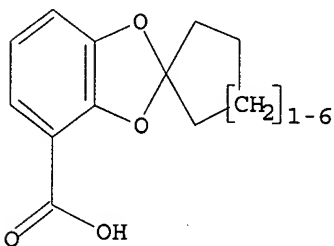
11:Atom 12:Atom 13:Atom 16:CLASS 17:CLASS 18:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 16:00:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

09/04/2007,10519807c.trn

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 full

FULL SEARCH INITIATED 16:00:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 72 TO ITERATE

100.0% PROCESSED 72 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L7 4 SEA SSS FUL L5

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	441.87
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-14.04

FILE 'HCAPLUS' ENTERED AT 16:00:26 ON 09 APR 2007
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FILE COVERS 1907 - 9 Apr 2007 VOL 146 ISS 16
FILE LAST UPDATED: 8 Apr 2007 (20070408/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

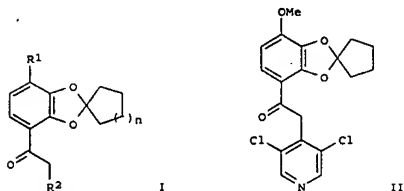
=> s l3/P and l7/ract

3 L3/P
6 L7
2965078 RACT/RL
6 L7/RACT
(L7 (L) RACT/RL)

L8 3 L3/P AND L7/RACT

=> d ed abs ibib hitstr 1-3

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 18 Jan 2004
GI

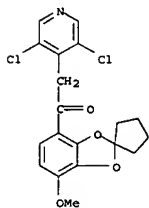


AB This invention pertains to a method for producing 1,3-benzodioxole derivative with general formula of I [wherein R1 = OH or (un)substituted alkoxy; R2 = (un)substituted (hetero)aryl; n = 1-6]. For example, 2,3,4-trimethoxybenzoic acid was treated with 55% aqueous HI in AcOH to give 2,3-dihydroxy-4-methoxybenzoic acid (73%). The above compound was reacted with 1-methoxycyclopentene in cyclopentanone, followed by the addition of BuI in DMF in the presence of K2CO3 to provide 7-methoxy-1,3-benzodioxole-2-spirocyclopentane-4-carboxylic acid Bu ester. The ester obtained was reacted with 3,5-dichloro-4-picoline in THF in the presence of LiN(TMS)2 to afford II in 54% total yield. This invention provides a simple method to make 1,3-benzodioxole derivs. in high yields and large scale. I are useful compds. or intermediates as PDE IV inhibitors (no data).

ACCESSION NUMBER: 2004:41458 HCAPLUS
DOCUMENT NUMBER: 140:111406
TITLE: Process for preparation of 1,3-benzodioxole derivatives
INVENTOR(S): Atsumi, Toshiyuki; Yanagisawa, Arata; Chujo, Iwao; Taumuki, Hiroshi; Mohri, Shinichiro
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

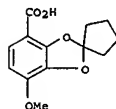


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 2004005276 A1 20040115 WO 2003-JP6478 20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2491464 A1 20040115 CA 2003-2491464 20030703
AU 2003252467 A1 20040123 AU 2003-252467 20030703
EP 1535920 A1 20050601 EP 2003-762875 20030703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005245750 A1 20051103 US 2004-519807 20041229
PRIORITY APPLN. INFO.: JP 2002-194273 A 20020703
WO 2003-JP6478 W 20030703

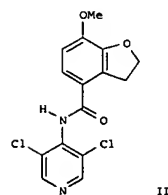
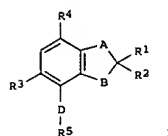
OTHER SOURCE(S): CASREACT 140:111406; MARPAT 140:111406

IT 185407-83-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzodioxole derivs.)
RN 185407-83-4 HCAPLUS
CN Spiro[1,3-benzodioxole-2,1'-cyclopentane]-4-carboxylic acid, 7-methoxy- (9CI) (CA INDEX NAME)



IT 185406-34-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzodioxole derivs.)
RN 185406-34-2 HCAPLUS
CN Ethanone,
2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentane]-4-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 13 Sep 2002
GI



AB Title compds. I [R1 and R2 independently = H, CN, (un)substituted alkyl, cycloalkyl, polycycloalkyl, alkenyl, etc.; or R1 and R2 are combined to represent a saturated carbon ring together with a carbon atom adjacent thereto; or R2, and R6 or R7 are combined to form a single bond; R3 = H, Ph, or halo; R4 = OH, alkoxy, etc.; A represents (un)substituted methylene or O; B represents O, NR6, (un)substituted methylene or ethylene; D represents (i) -C(R8)(R9)-X- (wherein X represents (un)substituted methylene, S, or (un)substituted N), (ii) -C(R10)-Y- [Y represents -C(R11)-Z- (wherein Z represents CONH, CONHCH2, or a bond), or N], or (iii) a bond; and R5 represents aryl, an aromatic heterocyclic group, cycloalkyl, pyridine-N-oxide, cyano, or lower alkoxy carbonyl; R6 = H, alkyl, cycloalkyl, alkenyl, (un)substituted aryl, etc.; R7 = H, (un)substituted alkyl, alkoxy, alkanoyloxy, etc.; R8 = H, OH, (un)substituted alkyl, cycloalkyl, aryl, aromatic heterocycle, etc.; R9 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkoxy, etc.; or R8 and R9 combine to form O, S or (un)substituted amine; R10 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkoxy, etc.; R11 = H, CN, (un)substituted alkyl, cycloalkyl, alkenyl, alkoxy, etc.; or pharmaceutically acceptable salts thereof, are prepared and disclosed as phosphodiesterase 4A (PDE IV) inhibitors. Thus, II was prepared in 48% yield by conversion of 7-methoxy-2,3-dihydrobenzofuran-4-carboxylic acid to the corresponding

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
acid chloride and subsequent amidation with 4-amino-3,5-dichloropyridine.
Assays with recombinant human PDE4A. I demonstrated enzyme inhibitory
activity values of 57-100 (% 10-7M). As inhibitors of PDE IV activity,

I are useful as therapeutic agents for asthma, allergy, rheumatoid
arthritis, psoriasis, myocardial infarction, depression, and the like.

ACCESSION NUMBER: 2002:696660 HCAPLUS

DOCUMENT NUMBER: 137:232641

TITLE: Preparation of benzofuran or benzodioxole derivatives
which possess PDE IV inhibitory activity

INVENTOR(S): Ohshima, Etsuo; Kawakita, Takashi; Yanagawa, Koji;
Iida, Kyoichiro; Koike, Rie; Nakasato, Yoshisuke;
Matsuzaki, Tohru; Ohmori, Kenji; Sato, Soichiro;
Ishii, Hidee; Manabe, Haruhiko; Ichimura, Michio;
Suzuki, Fumio

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 166 pp., Cont.-in-part of U.
S.

Ser. No. 784,187, abandoned.

CODEN: USXXCO

Patent

English

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002128290	A1	20020912	US 1997-974739	19971119
US 6514996	B2	20030204		
WO 9636624	A1	19961121	WO 1996-JP1327	19960520
W: AU, CA, CN, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE				
CN 1154697	A	19970716	CN 1996-190529	19960520
US 6716987	B1	20040406	US 2001-23091	20011220
PRIORITY APPLN. INFO.:			JP 1995-121537	A 19950519

JP 1995-258651 A 19951005

WO 1996-JP1327 A2 19960520

US 1997-784187 B2 19970115

JP 1996-307781 A 19961119

JP 1996-307782 A 19961119

JP 1996-307783 A 19961119

JP 1997-268399 A 19971001

JP 1997-268400 A 19971001

US 1997-974739 A3 19971119

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 137:232641

IT 185407-83-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)

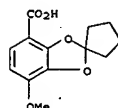
(intermediate; preparation and phosphodiesterase inhibitory activity

of

substituted benzofuran and benzodioxoles and analogs thereof)

RN 185407-83-4 HCAPLUS

CN Spiro[1,3-benzodioxole-2,1'-cyclopentane]-4-carboxylic acid, 7-methoxy-
(9CI) (CA INDEX NAME)



IT 185406-35-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(target compound; preparation and phosphodiesterase inhibitory

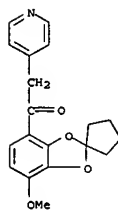
activity of

substituted benzofuran and benzodioxoles and analogs thereof)

RN 185406-35-3 HCAPLUS

CN Ethanone.

1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentane]-4-yl)-2-(4-
pyridinyl)- (9CI) (CA INDEX NAME)



IT 185406-34-2P 185406-37-5P 457935-53-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

(Uses)

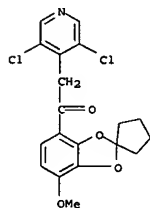
(target compd.; prepn. and phosphodiesterase inhibitory activity of

substituted benzofuran and benzodioxoles and analogs thereof)

RN 185406-34-2 HCAPLUS

CN

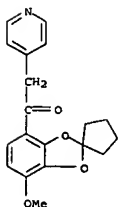
Ethanone,
2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-
2,1'-cyclopentane]-4-yl)- (9CI) (CA INDEX NAME)



RN 185406-37-5 HCAPLUS

CN

Ethanone,
1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentane]-4-yl)-2-(4-
pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)



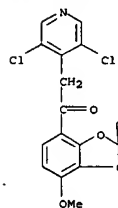
• HCl

RN 457935-53-4 HCAPLUS

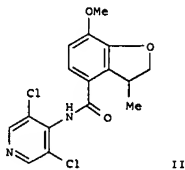
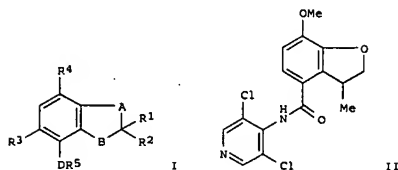
CN

Ethanone,
2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-
2,1'-cycloheptane]-4-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 27 Jan 1997
GI



AB The title compds. I [R1 and R2 are the same or different and each represents hydrogen, lower alkyl, cyano, etc., or R1 and R2 together with the adjacent carbon atom may form a saturated carbocyclic ring, or R2 together with R11 or R13, as will be described below, may form a single bond; R3 represents hydrogen, Ph or halogeno; R4 represents hydroxy, lower alkoxy, etc.; A represents O, etc.; B represents O, NR11, C(R12)(R13), etc.; D represents a bond, etc.; and R5 represents aryl, heteroaryl, cycloalkyl, pyridine-N-oxide, cyano or lower alkoxy carbonyl; R11 = H, alkyl, etc.; R12, R13 = H, (un)substituted alkyl, etc.] are prepared The title

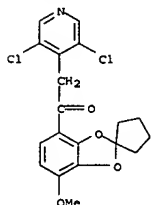
compound II in Vitro at 10⁻⁶ M gave 77% inhibition of phosphodiesterase IV.

ACCESSION NUMBER: 1997:56191 HCAPLUS
DOCUMENT NUMBER: 126:74738
TITLE: Preparation of heterocyclic compounds as phosphodiesterase IV inhibitors
INVENTOR(S): Kawakita, Takeshi; Oshima, Etsuo; Yanagawa, Koji; Iida, Kyoichiro; Koike, Rie; Ichimura, Michio;
Manabe, Haruhiko; Ohmori, Kenji; Suzuki, Fumio; Nakasato, Yoshisuke
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 238 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

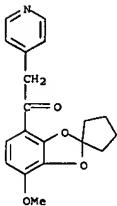
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9636624	A1	19961121	WO 1996-JP1327	19960520
W: AU, CA, CN, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT.				

SE

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 185406-35-3 HCAPLUS
CN Ethanone,
1-(7-methoxy-2,1'-cyclopentyl)-4-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



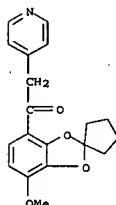
RN 185406-37-5 HCAPLUS
CN Ethanone,
1-(7-methoxy-2,1'-cyclopentyl)-4-yl)-2-(4-pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CA 2195755	A1	19961121	CA 1996-2195755	19960520
AU 9657029	A	19961129	AU 1996-57029	19960520
AU 705690	B2	19990527		
EP 771794	A1	19970507	EP 1996-915194	19960520
EP 771794	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1154697	A	19970716	CN 1996-190529	19960520
AT 325110	T	20060615	AT 1996-915194	19960520
ES 2258775	T3	20060901	ES 1996-915194	19960520
PT 771794	T	20060929	PT 1996-915194	19960520
NO 9700151	A	19970306	NO 1997-151	19970114
NO 317631	B1	20041129		
US 2002128290	A1	20020912	US 1997-974739	19971119
US 6514996	B2	20030204		
HK 1000785	A1	20060630	HK 1997-102285	19971128
US 6716987	B1	20040406	US 2001-23091	20011220
PRIORITY APPLN. INFO.:				
			JP 1995-121537	A 19950519
			JP 1995-258651	A 19951005
			WO 1996-JP1327	W 19960520
			JP 1996-307781	A 19961119
			JP 1996-307782	A 19961119
			JP 1996-307783	A 19961119
			US 1997-784187	B2 19970115
			JP 1997-268399	A 19971001
			JP 1997-268400	A 19971001
			US 1997-974739	A3 19971119

OTHER SOURCE(S): MARPAT 126:74738
IT 185406-34-2P 185406-35-3P 185406-37-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic compds. as phosphodiesterase IV inhibitors)
RN 185406-34-2 HCAPLUS
CN Ethanone,
2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxy-2,1'-cyclopentyl)-4-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

IT 185407-83-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as phosphodiesterase IV inhibitors)
RN 185407-83-4 HCAPLUS
CN Spiro[1,3-benzodioxole-2,1'-cyclopentane]-4-carboxylic acid, 7-methoxy- (9CI) (CA INDEX NAME)

